

SEARCH REQUEST FORM

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FEB 25 2005

Requester's Full Name: Sabirha Dey (STIC) Examiner #: 7414 Date: 2/26/05
Art Unit: 71616 Phone Number 301 20622 Serial Number: 91279331
Mail Box and Bldg/Room Location: 2 Results Format Preferred (circle): PAPER DISK E-MAIL

4170-Ram-4A45
If more than one search is submitted, please prioritize searches in order of need. MEJ

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of invention: AGOSTON et al.

Inventors (please provide full names): Anti-Angiogenic agents

Earliest Priority Filing Date: 2/8/2001

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search for the compounds of
formula 1.
Please not a proviso, in the last
line of cl 1.

Please see attached sheets

Thank you

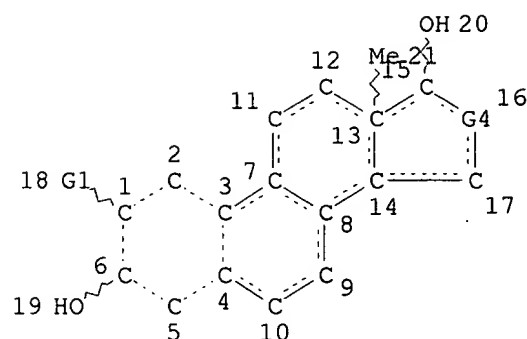
STAFF USE ONLY

	Type of Search	Vendors and cost where applicable
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Searcher Location: _____	Structure (#) <u>3</u>	Questel/Orbit _____
Date Searcher Picked Up: _____	Bibliographic _____	Dr.Link _____
Date Completed: <u>3/7</u>	Litigation _____	Lexis/Nexis _____
Searcher Prep. Review Time: <u>20</u>	Fulltext _____	Sequence Systems _____
Clerical Prep. Time: _____	Patent Family _____	WWW/Internet _____
Online Time: <u>20</u>	Other _____	Other (specify) _____

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L1

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 $N \sim N \sim N$
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 $C \equiv C \sim G2$
 @25 26 27

Ak @

 $CH \equiv CH \sim G2$
 @28 29 30

 $Ak \sim CH \equiv CH2$
 @31 32 33

 $Ak \sim Cb \sim CH \equiv CH2$
 @34 35 36 37

 $Cb \sim Ak \sim CH \equiv CH2$
 @38 39 40 41

 $C \equiv CH$
 @42 43

 $Ak \sim G3$
 @44 45

 $Ak \sim Cb \sim G3$
 @46 47 48

 $Cb \sim Ak \sim G3$
 @49 50 51

 $Ak \sim Cb$
 @53 54

 $Cb \sim Ak$
 @55 56

 $CH \sim G5$
 @57 58

 $G5 \sim C \sim G5$
 59 @60 61

Cy @62

Page 1-A

52

Page 1-B

VAR G1=22/25/28/31/34/38/42/44/46/49

VAR G2=52/53/55

VAR G3=OH/NH2/CL/BR/I/F/CF3

VAR G4=57/60

VAR G5=AK/62

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CONNECT IS E2 RC AT 34

CONNECT IS E2 RC AT 35

CONNECT IS E2 RC AT 38

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CONNECT IS E2 RC AT 47

CONNECT IS E2 RC AT 49

CONNECT IS E2 RC AT 50

CONNECT IS E1 RC AT 52

CONNECT IS E2 RC AT 53

CONNECT IS E1 RC AT 54

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CONNECT IS E1 RC AT 56

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GGCAT IS UNS AT 47
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NUMBER OF NODES IS 62

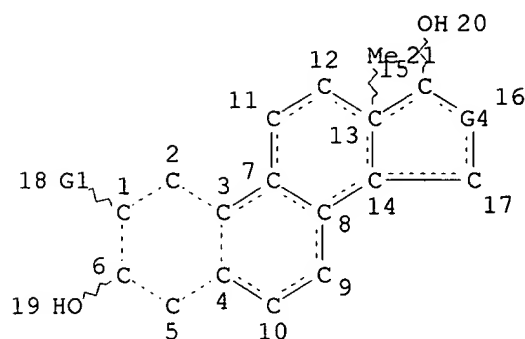
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L1

STR


 $N \sim N \sim N$
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 $C \equiv C \sim G2$
 @25 26 27

Ak @

 $CH \equiv CH \sim G2$
 @28 29 30

 $Ak \sim CH \equiv CH2$
 @31 32 33

 $Ak \sim Cb \sim CH \equiv CH2$
 @34 35 36 37

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 @38 39 40 41

 $C \equiv CH$
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 $Ak \sim Cb \sim G3$
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 @53 54

 $Cb \sim Ak$
 @55 56

 $CH \sim G5$
 @57 58

 $G5 \sim C \sim G5$
 59 @60 61

Cy @62

Page 1-A

52

Page 1-B

VAR G1=22/25/28/31/34/38/42/44/46/49

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VAR G5=AK/62

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 31

CONNECT IS E2 RC AT 34

CONNECT IS E2 RC AT 35

CONNECT IS E2 RC AT 38

CONNECT IS E2 RC AT 39

CONNECT IS E2 RC AT 44

CONNECT IS E2 RC AT 46

CONNECT IS E2 RC AT 47

CONNECT IS E2 RC AT 49

CONNECT IS E2 RC AT 50

CONNECT IS E1 RC AT 52

CONNECT IS E2 RC AT 53

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CONNECT IS E2 RC AT 55

CONNECT IS E1 RC AT 56

DEFAULT MLEVEL IS ATOM

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DEFAULT ECLEVEL IS LIMITED

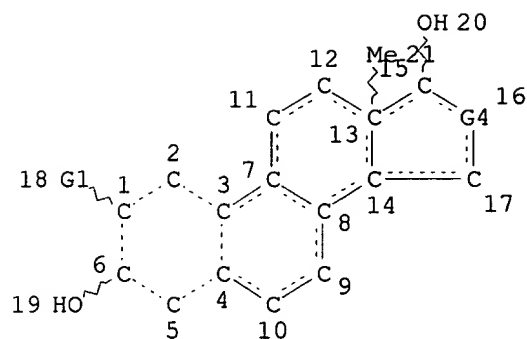
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L1

STR


 $N \sim N \sim N$
 @22 23 24

 $C \equiv C \sim G2$
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Ak @

 $CH \equiv CH \sim G2$
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 $Cb \sim Ak$
 @55 56

 $CH \sim G5$
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 $G5 \sim C \sim G5$
 59 @60 61

Cy @62

Page 1-A

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Page 1-B

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CONNECT IS E2 RC AT 39

CONNECT IS E2 RC AT 44

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CONNECT IS E2 RC AT 50

CONNECT IS E1 RC AT 52

CONNECT IS E2 RC AT 53

CONNECT IS E1 RC AT 54

CONNECT IS E2 RC AT 55

CONNECT IS E1 RC AT 56

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 35
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STEREO ATTRIBUTES: NONE

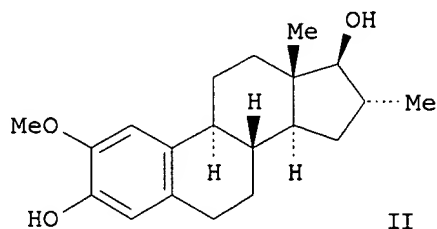
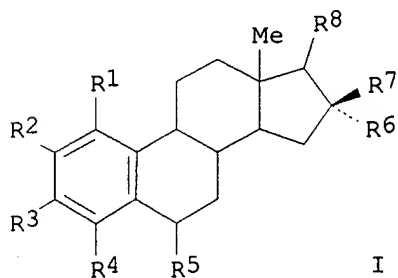
L6 6 SEA FILE=MARPAT SSS FUL L1
 L7 4 SEA FILE=MARPAT ABB=ON PLU=ON L6/COM

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L7 ANSWER 1 OF 4 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 137:279370 MARPAT
 TITLE: Preparation of 2-methoxyestradiol derivatives as
 antiangiogenic agents
 INVENTOR(S): Agoston, Gregory E.; Pribluda, Victor; Treston,
 Anthony M.; Green, Shawn J.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 15 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

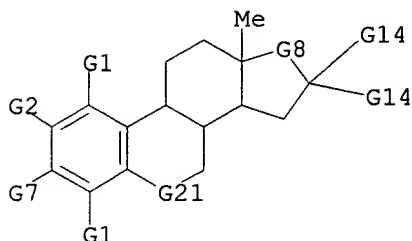
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002147183	A1	20021010	US 2001-779331	20010208
PRIORITY APPLN. INFO.:			US 2001-779331	20010208
OTHER SOURCE(S):		CASREACT 137:279370		

GI



AB Derivs. of 2-methoxyestradiol of formula I [R1, R4 = H, halo, CN, alkyl, OH, CH2OH, NH2, etc.; R2 = N3, CN, alkynyl, alkenyl, alkoxy, etc.; R3 = H, OH, hydroxyalkyl, etc.; R5 = H, oxo, OH, NOH, etc.; R6, R7 = H, alkyl, alkenyl, alkynyl, etc.; R8 = OH, oxo, NOH, etc.] are prepared for the treatment of mammalian disease characterized by undesirable angiogenesis. Thus, II was prepared from 2-methoxyestradiol, and had IC50 of <0.5 μ M against MDA-MB-231 human breast carcinoma cells.

MSTR 1



G2 = N3
G7 = OH
G8 = 53

HC—G13
53

G13 = OH
G14 = Et
G21 = 144

HC—G22
144

MPL: claim 1

L7 ANSWER 2 OF 4 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 137:47357 MARPAT

TITLE: Preparation of 2-methoxyestradiol derivatives as antiangiogenic agents

INVENTOR(S): Agoston, Gregory E.; Shah, Jamshed H.; Hunsucker, Kimberly A.; Pribluda, Victor S.; Lavalley, Theresa M.; Green, Shawn J.; Herbstritt, Christopher J.; Zhan, Xiaoguo H.; Treston, Anthony M.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 37 pp., Cont.-in-part of U. S. Ser. No. 933,894.

CODEN: USXXCO

DOCUMENT TYPE: Patent

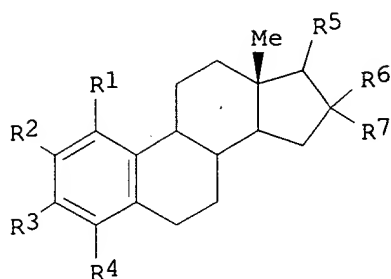
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

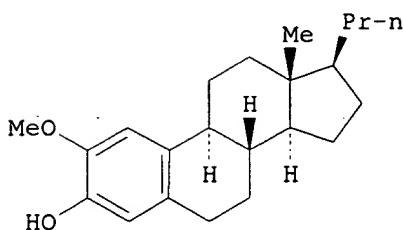
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			US 2000-255302P	20001213
			US 2001-278250P	20010323
			US 2001-933894	20010821

GI



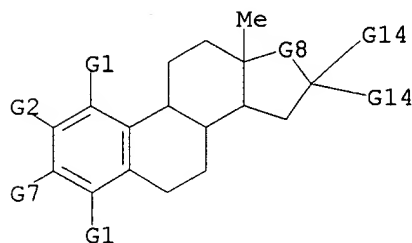
I



II

AB 2-Methoxyestradiol derivs. of formula I [R1, R4 = H, halo, CN, alkyl, OH, NH2, etc.; R2 = N3, CN, OMe, alkenyl, alkynyl, alkoxy, NH2, etc.; R3 = OH, OAc; R5 = alkyl, alkenyl, (di)alkylamino, OH, alkylene, etc.; R6, R7 = H, alkyl, alkenyl, alkynyl, halo, etc.] are prepared for treating mammalian disease characterized by undesirable angiogenesis. Thus, II was prepared from 2-methoxyestradiol and propyltriphenylphosphonium bromide. The IC50 of II against MDA-MB-231 breast tumor cells was 51.31 μ M.

MSTR 1



G2 = N3
 G7 = OH
 G8 = 46

G11-C₄₆-OH

G14 = Et
 MPL: claim 1

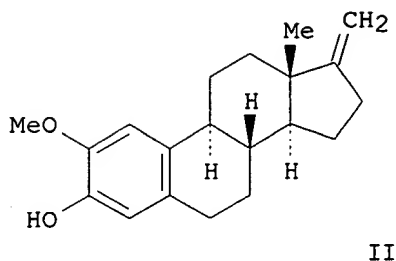
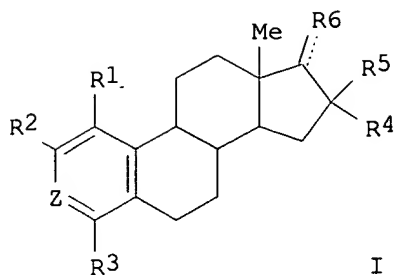
NTE: additional double bond formation also claimed

L7 ANSWER 3 OF 4 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 137:6309 MARPAT
 TITLE: Preparation of 2-methoxyestradiol analogs as
 antiangiogenic agents
 INVENTOR(S): Agoston, Gregory; Shah, Jamshed H.; Hunsucker,
 Kimberly A.; Pribluda, Victor; Lavallee, Theresa M.;
 Green, Shawn J.; Herbstritt, Christopher J.; Zhan,
 Xiaoguo H.; Treston, Anthony
 PATENT ASSIGNEE(S): Entremed, Inc., USA
 SOURCE: PCT Int. Appl., 86 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

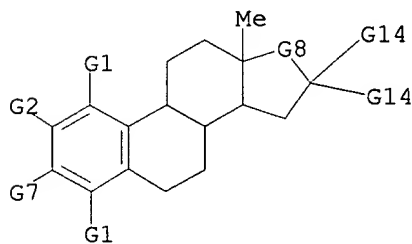
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WO 2002042319	A2	20020530	WO 2001-US26490	20010824
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AU 2001088386	A5	20020603	AU 2001-88386	20010824
EP 1343803	A2	20030917	EP 2001-968112	20010824
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JP 2004537499	T2	20041216	JP 2002-544452	20010824
PRIORITY APPLN. INFO.:			US 2000-253385P	20001127
			US 2000-255302P	20001213
			US 2001-278250P	20010323
			US 2001-933894	20010821
			WO 2001-US26490	20010824

GI



AB 2-Methoxyestradiol analogs, such as I [R1, R3 = H, halo, CN, alkyl, OH, CH2OH, NH2, alkylamino; R2 = N3, CN, C.tplbond.CR, C=CHR, C.tplbond.CH, OR, amino; R = H, alkyl; Z = COH, COAc; dashed bond = single bond or double bond; R6 = H, OH, O, oxime, amino, alkyl, alkenyl; R4, R5 = H, alkyl, alkenyl, alkynyl], were prepared for treating mammalian disease characterized by undesirable angiogenesis. Thus, 2-methoxyestradiol analog II was prepared by the reaction of methyltriphenylphosphonium bromide and 2-methoxyestrone. In vitro evaluation against MDA-MB-231 breast tumor cells and HUVEC endothelial cells, II showed IC50 0.24±0 and 0.19±0.19 resp.

MSTR 1



G2 = N3
G7 = OH
G8 = 46

G11-C₄₆-OH

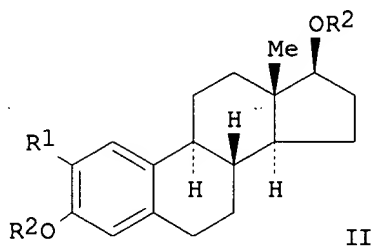
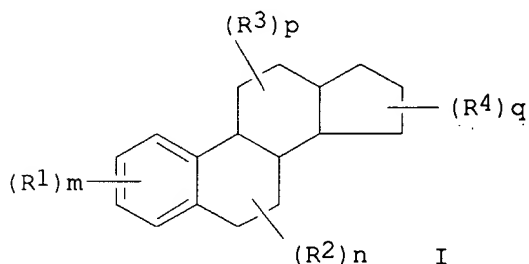
G14 = Et
MPL: claim 1
NTE: additional double bond formation also claimed

L7 ANSWER 4 OF 4 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 134:295993 MARPAT
TITLE: Estradiol conjugates and their therapeutic applications
INVENTOR(S): Stewart, Alastair George; McAllister, David James; Collis, Maree Patricia; Robertson, Alan Duncan

PATENT ASSIGNEE(S): University of Melbourne, Australia
 SOURCE: PCT Int. Appl., 57 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

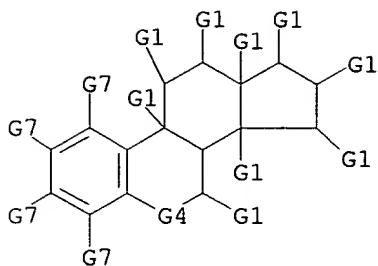
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WO 2001027132	A1	20010419	WO 2000-AU1244	20001013
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EP 1226154	A1	20020731	EP 2000-969105	20001013
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ZA 2002002622	A	20030304	ZA 2002-2622	20020404
PRIORITY APPLN. INFO.:			AU 1999-3425	19991014
			WO 2000-AU1244	20001013

GI



AB The invention discloses the preparation of conjugated prodrug of estradiol compound I (R_1 - R_4 = H, OH, halo, alkyl, alkenyl, alkynyl, cycloalkyl, amino, aryl, keto, hydrazono, oximino, carbohydrate, peptide, etc.; m, n, p, q = 0-3), a pharmaceutically acceptable salt or in vivo hydrolyzable ester, amide carbonate or carbamate thereof, in the treatment of conditions associated with enhanced angiogenesis or accelerated cell division, such as cancer, and inflammatory conditions such as asthma and rheumatoid arthritis and hyperproliferative skin disorders including psoriasis. Thus, II [R_1 = OMe, R_2 = H (III)] was prepared via multi-step reaction sequence starting from β -estradiol II (R_1 - R_2 = H). In human airway fibroblasts thrombin-stimulated increases in cell number were reduced to $12 \pm 8\%$ of the control response by III.

MSTR 1



G1 = OH / alkylcarbonyl<(1-5)> / Me
 G4 = 33

HC—G1
 33

G7 = OH / acyl
 MPL: claim 10
 NTE: or derivatives, pharmaceutically acceptable salts, or in vivo
 hydrolyzable esters, amides, carbonates, or carbamates
 NTE: substitution is restricted
 NTE: also incorporates claim 32, formulas IV, V, and VI

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT